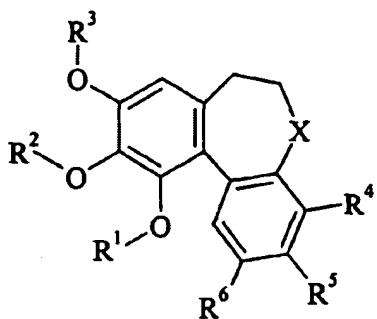


**IN THE CLAIMS:**

**Claim 1 (cancelled).**

**Claim 2 (currently amended and reformatted): A compound of the formula**  
**IIa:**



(IIa)

wherein

X is -C(O)-, -C(S)-, C=NOH, or -CH(R<sup>7</sup>)- wherein R<sup>7</sup> is hydrogen, hydroxy, C<sub>1-7</sub>alkoxy, -OR<sup>8</sup> or -NR<sup>8</sup>R<sup>9</sup>- (wherein

R<sup>8</sup> is a group -Y<sup>1</sup>R<sup>10</sup>-, (wherein

Y<sup>1</sup> is a direct bond, -C(O)-, -C(S)-, -S-, -C(O)O-, -C(O)NR<sup>11</sup>-, -SO<sub>2</sub>- or -SO<sub>2</sub>NR<sup>12</sup>- (wherein R<sup>11</sup> and R<sup>12</sup>, which may be the same or different, each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and

R<sup>10</sup> is selected from one of the following nine groups:

1) hydrogen, C<sub>1-7</sub>alkyl, C<sub>3-7</sub>cycloalkyl, C<sub>1-4</sub>alkylY<sup>8</sup>C<sub>1-4</sub>alkyl wherein Y<sup>8</sup> is as defined herein, or phenyl, (which alkyl, cycloalkyl, alkylY<sup>8</sup>alkyl or phenyl group may bear one or more substituents selected from:

halogeno, amino, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, hydroxy, carboxy, carbamoyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkylsulphanyl, C<sub>1-4</sub>alkylsulphonyl, C<sub>1-4</sub>alkoxycarbonylamino, C<sub>1-4</sub>alkanoyl, phenyl, nitro, sulphate, phosphate, Z<sup>1</sup>- (wherein Z<sup>1</sup> represents a 5-6 membered saturated heterocyclic group

1. Click on "Tools."
2. Select "Options" from the drop-down menu.

(linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic groups may bear 1 or 2 substituents selected from:

6. Select the "Use browser proxy settings" option.  
 7. Click "OK."  
 8. Click "OK."  
 oxo, hydroxy, halogeno, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy,  
 C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylsulphonyl, C<sub>1-4</sub>alkyl and Z<sup>2</sup> (wherein Z<sup>2</sup> is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy.  
 If you are certain that you are not using a proxy server, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkanoxy, amino, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkyl and to use C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl);

C<sub>1-4</sub>alkylZ<sup>1</sup> (wherein Z<sup>1</sup> is as defined herein), and LAUNCHcast is also not currently compatible with SOCKS a group -Y<sup>2</sup>R<sup>13</sup>, (wherein Y<sup>2</sup> is NR<sup>14</sup>, OR<sup>14</sup> or O<sup>14</sup>Q<sup>14</sup>) (wherein R<sup>13</sup> represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>14</sup> is C<sub>1-7</sub>alkyl, C<sub>3-7</sub>cycloalkyl or a group R<sup>15</sup> wherein R<sup>15</sup> is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from

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hydroxy, nitro, halogeno, amino, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>haloalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, C<sub>1-4</sub>hydroxyalkoxy, carboxy, cyano, -CONR<sup>16</sup>R<sup>17</sup> and -NR<sup>18</sup>COR<sup>19</sup> (wherein R<sup>16</sup>, R<sup>17</sup>, R<sup>18</sup> and R<sup>19</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl));

- 2) R<sup>15</sup> wherein R<sup>15</sup> is as defined herein;
- 3) C<sub>2-7</sub>alkenylR<sup>15</sup> (wherein R<sup>15</sup> is as defined herein);
- 4) C<sub>3-7</sub>alkynylR<sup>15</sup> (wherein R<sup>15</sup> is as defined herein));

- 5)  $Z^1$  (wherein  $Z^1$  is as defined herein);
- 6)  $C_{1-7}alkylZ^1$  (wherein  $Z^1$  is as defined herein);
- 7)  $C_{1-7}alkylY^8Z^1$  (wherein  $Z^1$  is as defined herein and  $Y^8$  is  $-C(O)-$ ,  $-NR^{59}C(O)-$ ,  
 $-NR^{59}C(O)C_{1-4}alkyl-$ ,  $-C(O)NR^{60}-$  or  $-C(O)NR^{60}C_{1-4}alkyl-$ , (wherein  $R^{59}$  and  
 $R^{60}$ , which may be the same or different, each represents hydrogen,  $C_{1-3}alkyl$ ,  
 $C_{1-3}hydroxyalkyl$  or  $C_{1-3}alkoxyC_{2-3}alkyl$ ));
- 8)  $(C_{1-7}alkyl)_cY^9Z^3$  (wherein  $c$  is 0 or 1,  $Z^3$  is an amino acid group and  $Y^9$  is a  
direct bond,  $-C(O)-$  or  $-NR^{61}-$  (wherein  $R^{61}$  is hydrogen,  $C_{1-3}alkyl$  or  
 $C_{1-3}alkoxyC_{2-3}alkyl$ )); and
- 9)  $C_{1-7}alkylR^{15}$  (wherein  $R^{15}$  is as defined herein);

and  $R^9$  is hydrogen,  $C_{1-7}alkyl$  or  $C_{3-7}cycloalkyl$ , which alkyl or cycloalkyl group may  
bear one or more substituents selected from  $C_{1-4}alkoxy$  and phenyl);

$R^1$ ,  $R^2$  and  $R^3$  are each independently hydrogen,  $PO_3H_2$ , sulphate,  $C_{3-7}cycloalkyl$ ,  
 $C_{2-7}alkenyl$ ,  $C_{2-7}alkynyl$ ,  $C_{1-7}alkanoyl$ , a group  $R^{20}C_{1-7}alkyl$  (wherein  $R^{20}$  is phenyl  
which may bear one or more substituents selected from  $C_{1-4}alkyl$ ,  $C_{1-4}alkoxy$ ,  
 $C_{1-4}aminoalkyl$  and  $C_{1-4}hydroxyalkoxy$ ),  $C_{1-7}alkyl$  or  $C_{1-7}alkylsulphonyl$ , (which alkyl  
or alkylsulphonyl group may bear one or more substituents selected from:

halogeno, amino,  $C_{1-4}alkylamino$ , di( $C_{1-4}alkyl$ )amino, hydroxy,  $C_{1-4}alkoxy$ ,  
 $C_{1-4}alkylsulphanyl$ ,  $C_{1-4}alkylsulphonyl$ ,  $C_{1-4}alkoxycarbonylamino$ ,  $C_{1-4}alkanoyl$ ,  
carboxy, phenyl, nitro, sulphate, phosphate and a group  $-Y^2R^{21}$  (wherein  
 $Y^2$  is  $-NR^{22}C(O)-$  or  $-O-C(O)-$ , (wherein  $R^{22}$  represents hydrogen,  $C_{1-3}alkyl$  or  
 $C_{1-3}alkoxyC_{2-3}alkyl$ ) and

$R^{21}$  is  $C_{1-7}alkyl$ ,  $C_{3-7}cycloalkyl$  or a group  $R^{23}$  wherein  $R^{23}$  is a phenyl group or a  
5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with  
1-4 heteroatoms selected independently from O, N and S, which phenyl or  
aromatic heterocyclic group may bear one or more substituents selected from  
hydroxy, nitro, halogeno, amino,  $C_{1-4}alkyl$ ,  $C_{1-4}haloalkyl$ ,  $C_{1-4}alkoxy$ ,  
 $C_{1-4}hydroxyalkyl$ ,  $C_{1-4}aminoalkyl$ ,  $C_{1-4}alkylamino$ ,  $C_{1-4}hydroxyalkoxy$ , carboxy,

cyano, -CONR<sup>24</sup>R<sup>25</sup> and -NR<sup>26</sup>COR<sup>27</sup> (wherein R<sup>24</sup>, R<sup>25</sup>, R<sup>26</sup> and R<sup>27</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl));

with the proviso that at least two of R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are C<sub>1-7</sub>alkyl;

R<sup>4</sup> is hydrogen, cyano, halogeno, nitro, amino, hydroxy, C<sub>1-7</sub>alkoxy, C<sub>1-7</sub>thioalkoxy, C<sub>1-7</sub>alkanoyl or C<sub>1-7</sub>alkyl, (which alkyl group may bear one or more substituents selected from:

halogeno, amino, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, hydroxy, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkylsulphanyl, C<sub>1-4</sub>alkylsulphonyl, C<sub>1-4</sub>alkoxycarbonylamino, C<sub>1-4</sub>alkanoyl, carboxy, phenyl, nitro, sulphate, phosphate and a group -Y<sup>3</sup>R<sup>28</sup> (wherein Y<sup>3</sup> is -NR<sup>29</sup>C(O)- or -O-C(O)- (wherein R<sup>29</sup> represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and

R<sup>28</sup> is C<sub>1-7</sub>alkyl, C<sub>3-7</sub>cycloalkyl or a group R<sup>30</sup> wherein R<sup>30</sup> is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>haloalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, C<sub>1-4</sub>hydroxyalkoxy, carboxy, cyano, -CONR<sup>31</sup>R<sup>32</sup> and -NR<sup>31</sup>COR<sup>32</sup> (wherein R<sup>31</sup>, R<sup>32</sup>, R<sup>33</sup> and R<sup>34</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl));

R<sup>5</sup> and R<sup>6</sup> are each independently selected from hydrogen, -OPO<sub>3</sub>H<sub>2</sub>, phosphonate, cyano, halogeno, nitro, amino, carboxy, carbamoyl, hydroxy, C<sub>1-7</sub>alkoxy, C<sub>1-7</sub>alkanoyl, C<sub>1-7</sub>thioalkoxy, C<sub>1-7</sub>alkyl, (which alkyl group may bear one or more substituents selected from:

halogeno, amino, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, hydroxy, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkylsulphanyl, C<sub>1-4</sub>alkylsulphonyl, C<sub>1-4</sub>alkoxycarbonylamino, C<sub>1-4</sub>alkanoyl, carboxy, phenyl, sulphate, phosphate and a group -Y<sup>3</sup>R<sup>28</sup> (wherein Y<sup>3</sup> is -NR<sup>29</sup>C(O)- or -O-C(O)- (wherein R<sup>29</sup> represents hydrogen, C<sub>1-3</sub>alkyl or

$C_{1-3}alkoxyC_{2-3}alkyl)$  and  $R^{28}$  is  $C_{1-7}alkyl$ ,  $C_{3-7}cycloalkyl$  or a group  $R^{30}$  wherein  $R^{30}$  is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino,  $C_{1-4}alkyl$ ,  $C_{1-4}haloalkyl$ ,  $C_{1-4}alkoxy$ ,  $C_{1-4}hydroxyalkyl$ ,  $C_{1-4}aminoalkyl$ ,  $C_{1-4}alkylamino$ ,  $C_{1-4}hydroxyalkoxy$ , carboxy, cyano,  $-CONR^{31}R^{32}$  and  $-NR^{31}COR^{32}$  (wherein  $R^{31}$ ,  $R^{32}$ ,  $R^{33}$  and  $R^{34}$ , which may be the same or different, each represents hydrogen,  $C_{1-3}alkyl$  or  $C_{1-3}alkoxyC_{2-3}alkyl$ )), and

a group  $-Y^4R^{35}$  (wherein

$Y^4$  is  $-C(O)-$ ,  $-OC(O)-$ ,  $-O-$ ,  $-SO-$ ,  $-SO_2-$ ,  $-OSO_2-$ ,  $-NR^{36}-$ ,  $-C_{1-4}alkylNR^{36}-$ ,  $-C_{1-4}alkylC(O)-$ ,  $-NR^{37}C(O)-$ ,  $-OC(O)O-$ ,  $-C(O)NR^{38}-$  or  $-NR^{39}C(O)O-$  (wherein  $R^{36}$ ,  $R^{37}$ ,  $R^{38}$  and  $R^{39}$ , which may be the same or different, each represents hydrogen,  $C_{1-3}alkyl$  or  $C_{1-3}alkoxyC_{2-3}alkyl$ ) and

$R^{35}$  is a sugar moiety, a mono-peptide, a di-peptide, a tri-peptide, a tetra-peptide, sulphate, hydroxy, amino,  $C_{1-7}alkyl$ ,  $C_{1-7}alkoxy$ ,  $C_{1-7}alkanoyl$ ,  $C_{1-7}alkylamino$ , di( $C_{1-7}alkyl$ )amino, amino $C_{1-7}alkylamino$ ,  $C_{1-7}alkylaminoC_{1-7}alkylamino$ ,  $C_{1-7}alkanoylaminoC_{1-7}alkyl$ , di( $C_{1-7}alkyl$ )amino $C_{1-7}alkylamino$ ,  $C_{1-7}alkylphosphate$ ,  $C_{1-7}alkylphosphonate$ ,  $C_{1-7}alkylcarbamoylC_{1-7}alkyl$ , (which alkyl, alkoxy, alkanoyl, alkylamino, dialkylamino, aminoalkylamino, alkylaminoalkylamino, alkanoylaminoalkyl, dialkylaminoalkylamino, alkylphosphate, alkylphosphonate or alkylcarbamoylalkyl, may bear one or more substituents selected from:

halogeno, amino,  $C_{1-4}alkylamino$ , di( $C_{1-4}alkyl$ )amino, hydroxy,  $C_{1-4}hydroxyalkyl$ ,  $C_{1-4}alkoxy$ ,  $C_{1-4}alkylsulphonyl$ ,  $C_{1-4}alkylsulphonyl$ ,  $C_{1-4}alkoxycarbonylamino$ ,  $C_{1-4}alkanoyl$ , carboxy, phenyl, nitro, sulphate, phosphate and a group  $-Y^5R^{40}$  (wherein  $Y^5$  is  $-NR^{41}C(O)-$ ,  $-C(O)NR^{42}-$ ,  $-C(O)-O-$  or  $-O-C(O)-$  (wherein  $R^{41}$  and  $R^{42}$  which may be the same or different each represents hydrogen,  $C_{1-3}alkyl$  or  $C_{1-3}alkoxyC_{2-3}alkyl$ ) and  $R^{40}$

is C<sub>1-7</sub>alkyl, C<sub>3-7</sub>cycloalkyl, carboxyC<sub>1-7</sub>alkyl or a group R<sup>43</sup> wherein R<sup>43</sup> is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>haloalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, C<sub>1-4</sub>hydroxyalkoxy, carboxy, cyano, -CONR<sup>44</sup>R<sup>45</sup> and -NR<sup>46</sup>COR<sup>47</sup> (wherein R<sup>44</sup>, R<sup>45</sup>, R<sup>46</sup> and R<sup>47</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl)),

R<sup>48</sup> (wherein R<sup>48</sup> is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from

hydroxy, nitro, halogeno, amino, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>haloalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkyl, di(C<sub>1-4</sub>hydroxyalkyl)aminoC<sub>1-4</sub>alkyl, di(C<sub>1-4</sub>aminoalkyl)aminoC<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkoxy, carboxy, C<sub>1-4</sub>carboxyalkyl, phenyl, cyano, -CONR<sup>49</sup>R<sup>50</sup>, -NR<sup>51</sup>COR<sup>52</sup> (wherein R<sup>49</sup>, R<sup>50</sup>, R<sup>51</sup> and R<sup>52</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and C<sub>1-4</sub>alkylR<sup>53</sup> (wherein R<sup>53</sup> is as defined herein),

C<sub>1-7</sub>alkylR<sup>48</sup> (wherein R<sup>48</sup> is as defined herein),

R<sup>53</sup> (wherein R<sup>53</sup> is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>carboxyalkyl, C<sub>1-4</sub>aminoalkyl, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl and R<sup>54</sup> (wherein R<sup>54</sup> is a 5-6-membered saturated

heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy,

C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl and C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl)), or

(CH<sub>2</sub>)<sub>a</sub>Y<sup>6</sup>(CH<sub>2</sub>)<sub>b</sub>R<sup>53</sup> (wherein R<sup>53</sup> is as defined herein, a is 0, or an integer 1-4, b is 0 or an integer 1-4 and Y<sup>6</sup> represents a direct bond, -O-, -C(O)-, -NR<sup>55</sup>-, -NR<sup>56</sup>C(O)- or -C(O)NR<sup>57</sup>- (wherein R<sup>55</sup>, R<sup>56</sup>, and R<sup>57</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl), and wherein one or more of the (CH<sub>2</sub>)<sub>a</sub> or (CH<sub>2</sub>)<sub>b</sub> groups may bear one or more substituents selected from hydroxy, amino and halogeno));

with the proviso that R<sup>5</sup> is not hydroxy, alkoxy, substituted alkoxy (wherein R<sup>5</sup> is Y<sup>4</sup>R<sup>35</sup> and Y<sup>4</sup> is -O- and R<sup>35</sup> is C<sub>1-7</sub>alkyl bearing one or more substituents selected from the list given herein), -OPO<sub>3</sub>H<sub>2</sub>, -O-C<sub>1-7</sub>alkanoyl or benzyloxy;

with the further proviso that at least one of R<sup>5</sup> or R<sup>6</sup> is a group -Y<sup>4</sup>R<sup>35</sup> (wherein Y<sup>4</sup> and R<sup>35</sup> are as defined herein) but with the further provisos that when R<sup>5</sup> is -Y<sup>4</sup>R<sup>35</sup> and R<sup>6</sup> is hydrogen, hydroxy, methoxy or methoxycarbonyl, -Y<sup>4</sup>R<sup>35</sup> is not selected from cases wherein:

Y<sup>4</sup> is -C(O)-, -OC(O)-, -O-, -SO-, -OSO<sub>2</sub>-, -NR<sup>36</sup>-, -NR<sup>37</sup>C(O)- or -C(O)NR<sup>38</sup>- (wherein R<sup>36</sup>, R<sup>37</sup> and R<sup>38</sup>, which may be the same or different, each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and

R<sup>35</sup> is a glycine, valine or lysine group, a dipeptide of glycine and valine groups, C<sub>1-7</sub>alkyl, C<sub>1-7</sub>alkoxy, C<sub>1-7</sub>alkanoyl, (which alkyl, alkoxy or alkanoyl may bear one or more substituents selected from:

halogeno, hydroxy, and a group -Y<sup>5</sup>R<sup>40</sup> (wherein Y<sup>5</sup> is -O-C(O)- and R<sup>40</sup> is C<sub>1-7</sub>alkyl)), or R<sup>48</sup> (wherein R<sup>48</sup> is a tetrazolyl group (which may or may not be substituted as herein defined), a phenyl group or a benzyl group which phenyl or benzyl group may bear one or more substituents selected from C<sub>1-4</sub>alkyl); and

that when R<sup>6</sup> is -Y<sup>4</sup>R<sup>35</sup> and R<sup>5</sup> is hydrogen, hydroxy, methoxy or methoxycarbonyl, -Y<sup>4</sup>R<sup>35</sup> is not selected from cases wherein:

Y<sup>4</sup> is -C(O)-, -O- or -OSO<sub>2</sub>- and

R<sup>35</sup> is C<sub>1-7</sub>alkyl, C<sub>1-7</sub>alkoxy (which alkyl, alkoxy or alkanoyl may bear one or more substituents selected from: halogeno), R<sup>48</sup> (wherein R<sup>48</sup> is a benzyl group which benzyl group may bear one or more substituents selected from C<sub>1-4</sub>alkyl), or R<sup>53</sup> (wherein R<sup>53</sup> is piperidinyl);

or a salt thereof.

**Claim 3 (cancelled).**

**Claim 4 (original):** A compound according to claim 2 wherein X is -CH(R<sup>7</sup>)-, wherein R<sup>7</sup> is -OR<sup>8</sup> or -NR<sup>8</sup>R<sup>9</sup> (wherein R<sup>8</sup> is a group -Y<sup>1</sup>R<sup>10</sup> (wherein Y<sup>1</sup> is -C(O)-, -C(O)O- or -C(O)NR<sup>11</sup>- (wherein R<sup>11</sup> represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>10</sup> is as defined in claim 2) and R<sup>9</sup> is as defined in claim 2).

**Claim 5 (previously amended):** A compound according to claim 2 wherein R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are each methyl.

**Claim 6 (previously amended):** A compound according to claim 2 wherein R<sup>4</sup> is hydrogen.

**Claim 7 (currently amended and reformatted):** A compound according to claim 2 wherein R<sup>6</sup> is hydrogen, halogeno, amino, carboxy, hydroxy, C<sub>1-7</sub>alkoxy or a group Y<sup>4</sup>R<sup>35</sup> (wherein

Y<sup>4</sup> is -C(O)-, -O- or -OSO<sub>2</sub>- and

$R^{35}$  is  $C_{1-7}$ alkyl,  $C_{1-7}$ alkoxy (which alkyl or alkoxy may bear one or more substituents selected from halogeno),  $R^{48}$  (wherein  $R^{48}$  is a benzyl group) or  $R^{53}$  (wherein  $R^{53}$  is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms selected independently from O, S and N).

**Claim 8 (previously amended):** A compound according to claim 2 wherein  $R^6$  is hydrogen,  $C(O)OCH_3$  or methoxy.

**Claim 9 (presently amended and reformatted):** A compound according to claims 2 wherein

$R^5$  is hydrogen, halogeno, amino, carboxy, carbamoyl,  $C_{1-7}$ alkanoyl,  $C_{1-7}$ thioalkoxy, or a group  $-Y^4R^{35}$  (wherein

$Y^4$  is  $-C(O)-$ ,  $-OC(O)-$ ,  $-O-$ ,  $-SO-$ ,  $-OSO_2-$ ,  $-NR^{36}-$ ,  $-NR^{37}C(O)-$  or  $-C(O)NR^{38}-$  (wherein  $R^{36}$ ,  $R^{37}$  and  $R^{38}$ , which may be the same or different, each represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl) and

$R^{35}$  is a sugar moiety, a mono-peptide, a di-peptide, a tri-peptide, a tetra-peptide,  $C_{1-7}$ alkyl,  $C_{1-7}$ alkoxy,  $C_{1-7}$ alkanoyl,  $C_{1-7}$ alkanoylamino $C_{1-7}$ alkyl, (which alkyl, alkoxy, alkanoyl, alkanoylaminoalkyl may bear one or more substituents selected from: halogeno, amino, hydroxy, carboxy, and a group  $-Y^5R^{40}$  (wherein

$Y^5$  is  $-C(O)-O-$  or  $-O-C(O)-$  and

$R^{40}$  is  $C_{1-7}$ alkyl or a group  $R^{43}$  wherein  $R^{43}$  is a benzyl group),

$R^{48}$  (wherein  $R^{48}$  is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, fluoro, amino,  $C_{1-4}$ alkoxy,  $C_{1-4}$ hydroxyalkyl,  $C_{1-4}$ aminoalkyl,  $C_{1-4}$ alkylamino,  $di(C_{1-4}alkyl)amino$ ,  $di(C_{1-4}alkyl)aminoC_{1-4}alkyl$ ,  $di(C_{1-4}hydroxyalkyl)aminoC_{1-4}alkyl$ ,  $di(C_{1-4}aminoalkyl)aminoC_{1-4}alkyl$ ,

$C_{1-4}$ hydroxyalkoxy, carboxy,  $C_{1-4}$ carboxyalkyl, cyano, -CONR<sup>49</sup>R<sup>50</sup>, -NR<sup>51</sup>COR<sup>52</sup> (wherein R<sup>49</sup>, R<sup>50</sup>, R<sup>51</sup> and R<sup>52</sup>, which may be the same or different, each represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxyC<sub>2-3</sub>alkyl) and  $C_{1-4}$ alkylR<sup>53</sup> (wherein R<sup>53</sup> is as defined herein),  $C_{1-7}$ alkylR<sup>48</sup> (wherein R<sup>48</sup> is as defined herein), R<sup>53</sup> (wherein R<sup>53</sup> is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, fluoro, chloro,  $C_{1-4}$ alkyl,  $C_{1-4}$ hydroxyalkyl,  $C_{1-4}$ alkoxy,  $C_{1-4}$ carboxyalkyl,  $C_{1-4}$ aminoalkyl, di( $C_{1-4}$ alkyl)amino $C_{1-4}$ alkyl,  $C_{1-4}$ alkoxy $C_{1-4}$ alkyl,  $C_{1-4}$ alkylsulphonyl $C_{1-4}$ alkyl and R<sup>54</sup> (wherein R<sup>54</sup> is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno,  $C_{1-4}$ alkyl,  $C_{1-4}$ hydroxyalkyl,  $C_{1-4}$ alkoxy,  $C_{1-4}$ alkoxy $C_{1-4}$ alkyl and  $C_{1-4}$ alkylsulphonyl $C_{1-4}$ alkyl)), or  $(CH_2)_aY^6(CH_2)_bR^{53}$  (wherein R<sup>53</sup> is as defined herein, a is 0, or an integer 1-4, b is 0 or an integer 1-4 and Y<sup>6</sup> represents a direct bond, -O-, -C(O)-, -NR<sup>55</sup>-, -NR<sup>56</sup>C(O)- or -C(O)NR<sup>57</sup>- (wherein R<sup>55</sup>, R<sup>56</sup>, and R<sup>57</sup>, which may be the same or different, each represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxyC<sub>2-3</sub>alkyl), and wherein one or more of the  $(CH_2)_a$  or  $(CH_2)_b$  groups may bear one or more substituents selected from hydroxy, amino and halogeno)); with the proviso that R<sup>5</sup> is not alkoxy, substituted alkoxy (wherein R<sup>5</sup> is Y<sup>4</sup>R<sup>35</sup> and Y<sup>4</sup> is -O- and R<sup>35</sup> is  $C_{1-7}$ alkyl bearing one or more substituents selected from the list given herein), -O-C<sub>1-7</sub>alkanoyl or benzyloxy.

**Claim 10 (original): A compound according to claim 2 selected from:**

**(5S)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo[*a,c*]cyclohepten-3-yl 3-{[(2*R*)-2,6-diaminohexanoyl]amino}propanoate,**

(*S,S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl  
3-[(2-aminoacetyl)amino]propanoate,

*N*-[(*S,S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]oxymethyl]-2-morpholinoacetamide,

(2*S,3S,4S,5R,6R*)-6-{[(*S,S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]oxy}-3,4,5-trihydroxytetrahydro-2*H*-pyran-2-carboxylic acid,

*N*-[(*S,S*)-3-(4-{4-methylpiperazin-1-ylmethyl}phenylcarbonyloxy)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-5-yl]acetamide,

*N*-[(*S,S*)-3-(4-{morpholinomethyl}phenylcarbonyloxy)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-5-yl]acetamide,

(*S,S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl  
3-[4-methylpiperazin-1-ylcarbonyl]propanoate,

5-[(*S,S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]oxycarbonyl]pentanoic acid,

4-(3-[(*S,S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]oxy-3-oxopropyl)benzoic acid and

(2*S*)-*N*-[(*S,S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]-cyclohepten-3-yl]-2-amino-3-hydroxypropanamide,

and salts thereof.

**Claim 11 (original): A compound according to claim 2 selected from**

*N*-[(*S,S*)-3-(4-{4-methylpiperazin-1-ylmethyl}phenylcarbonyloxy)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-5-yl]acetamide and

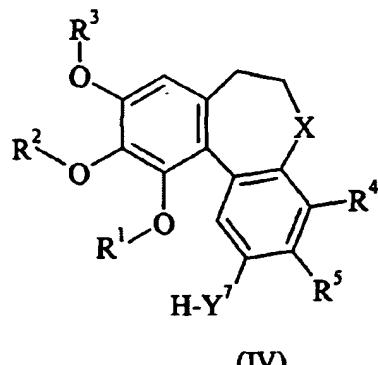
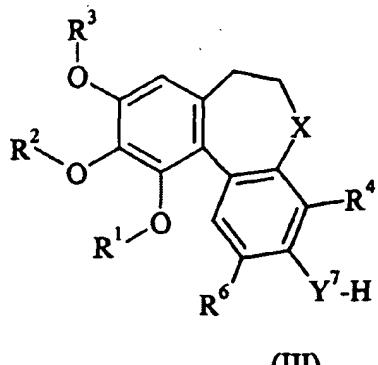
(2*S*)-*N*-[(*S,S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]-cyclohepten-3-yl]-2-amino-3-hydroxypropanamide,

and salts thereof.

**Claim 12 (original):** A compound according to claim 2 selected from  
(2S)-N-[(5S)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5H-dibenzo[a,c]-cyclohepten-3-yl]-2-amino-5-[(2-nitroethanimidoyl)amino]pentanamide  
and salts thereof.

**Claim 13. (original; reformatted):** A process for the manufacture of a compound of formula IIa as defined in claim 2 which comprises:

- (a) for the preparation of compounds of formula IIa and salts thereof in which R<sup>5</sup> or R<sup>6</sup> is a group Y<sup>4</sup>R<sup>35</sup> (wherein R<sup>35</sup> is as defined in claim 2 and Y<sup>4</sup> is a group -OC(O)- or -NHC(O)-), the reaction of a compound of formula III or IV:

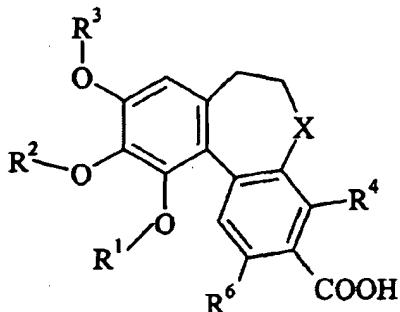


(wherein X, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup> are as defined in claim 2 and Y<sup>7</sup> is -O- or -NH-), by acylation or coupling reactions;

- (b) for the preparation of compounds of formula IIa and salts thereof in which R<sup>5</sup> or R<sup>6</sup> is a group Y<sup>4</sup>R<sup>35</sup> (wherein R<sup>35</sup> is C<sub>1-7</sub>alkoxy which may be substituted as defined in claim 2 and Y<sup>4</sup> is a group -OC(O)- or -NHC(O)-), the reaction of a compound of formula III and IV, by acylation reactions;
- (c) for the preparation of compounds of formula IIa and salts thereof in which R<sup>5</sup> or R<sup>6</sup> is a group Y<sup>4</sup>R<sup>35</sup> (wherein R<sup>35</sup> is aminoC<sub>1-7</sub>alkylamino, C<sub>1-7</sub>alkylaminoC<sub>1-7</sub>alkylamino, di(C<sub>1-7</sub>alkyl)aminoC<sub>1-7</sub>alkylamino and may be substituted as defined in claim 2, or is

$R^{53}$  (wherein  $R^{53}$  is as defined in claim 2) and  $Y^4$  is a group -OC(O)- or -NHC(O)-), can be prepared by the reaction of a compound of formula III or IV, acylation reactions;

- (d) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is a group  $Y^4R^{35}$  (wherein  $R^{35}$  is a sugar moiety and  $Y^4$  is a group -O- or -NH-), the reaction of a compound of formula III or IV, glycosylation reactions;
- (e) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is a group  $Y^4R^{35}$  (wherein  $R^{35}$  is sulphate and  $Y^4$  is a group -O- or -NH-), the reaction of a compound of formula III or IV, by sulphonylation reactions;
- (f) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is a group  $Y^4R^{35}$  (wherein  $R^{35}$  is C<sub>1-7</sub>alkylphosphate and may be substituted as defined in claim 2 and  $Y^4$  is a group -O- or -NH-), the reaction of a compound of formula III or IV, by phosphorylation reactions;
- (g) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  is amino the reaction of a carboxylic acid of formula V:



(V)

(wherein  $X$ ,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$  and  $R^6$  are as defined in claim 2) via Curtius rearrangement and hydrolysis; and

- (h) for the preparation of compounds of formula IIa and salts thereof in which  $R^5$  or  $R^6$  is chloro the reaction of a compound of formula III or IV by the Sandmeyer reaction;

and when a pharmaceutically acceptable salt of a compound of formula IIa is required, reaction of the compound obtained with an acid or base whereby to obtain the desired pharmaceutically acceptable salt.

**Claim 14 (original):** A pharmaceutical composition which comprises as active ingredient a compound of formula IIa as defined in claim 2 or a pharmaceutically acceptable salt thereof in association with a pharmaceutically acceptable excipient or carrier.

**Claim 15 (original):** A method for producing a vascular damaging effect in a warm-blooded animal, such as a human being, in need of such treatment which comprises administering to said animal an effective amount of a compound of formula IIa or a pharmaceutically acceptable salt thereof as defined in claim 2.